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NEWS 5 APR 28 IMSRESEARCH reloaded with enhancements  
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NEWS 7 MAY 30 DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option  
NEWS 8 JUN 06 EPFULL enhanced with 260,000 English abstracts  
NEWS 9 JUN 06 KOREAPAT updated with 41,000 documents  
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NEWS 15 JUN 30 STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in  
NEWS 16 JUN 30 STN AnaVist enhanced with database content from EPFULL  
NEWS 17 JUL 28 CA/CAplus patent coverage enhanced  
NEWS 18 JUL 28 EPFULL enhanced with additional legal status information from the epoline Register  
NEWS 19 JUL 28 IFICDB, IFIPAT, and IFIUDB reloaded with enhancements  
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NEWS 21 AUG 01 INPADOCDB and INPAFAMDB coverage enhanced  
NEWS 22 AUG 13 CA/CAplus enhanced with printed Chemical Abstracts page images from 1967-1998  
NEWS 23 AUG 15 CAOLD to be discontinued on December 31, 2008  
NEWS 24 AUG 15 CAplus currency for Korean patents enhanced  
NEWS 25 AUG 25 CA/CAplus, CASREACT, and IFI and USPAT databases enhanced for more flexible patent number searching  
NEWS 26 AUG 27 CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information  
NEWS 27 SEP 18 Support for STN Express, Versions 6.01 and earlier, to be discontinued  
NEWS 28 SEP 25 CA/CAplus current-awareness alert options enhanced

to accommodate supplemental CAS indexing of  
exemplified prophetic substances

NEWS 29 SEP 26 WPIDS, WPINDEX, and WPIX coverage of Chinese and  
and Korean patents enhanced

NEWS 30 SEP 29 IFICLS enhanced with new super search field

NEWS 31 SEP 29 EMBASE and EMBAL enhanced with new search and  
display fields

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,  
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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STRUCTURE FILE UPDATES: 26 SEP 2008 HIGHEST RN 1053621-88-7  
DICTIONARY FILE UPDATES: 26 SEP 2008 HIGHEST RN 1053621-88-7

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=> s alfuzosin

=> dscan 11  
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 The previous command name entered was not recognized by the system.  
 For a list of commands available to you in the current file, enter  
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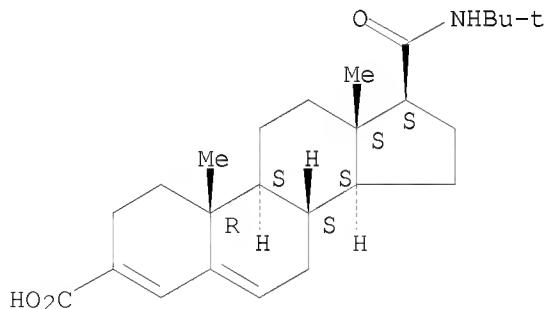
=> d 11

L1 ANSWER 1 OF 5 REGISTRY COPYRIGHT 2008 ACS on STN  
 RN 151651-05-7 REGISTRY  
 ED Entered STN: 09 Dec 1993  
 CN Androsta-3,5-diene-3-carboxylic acid, 17-[[[(1,1-dimethylethyl)amino]carbonyl]-, (17 $\beta$ )-, mixt. with N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro-2-furancarboxamide (9CI) (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN 2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro-, mixt. contg. (9CI)  
 OTHER NAMES:  
 CN Alfuzosin-SKF 105657 mixt.  
 CN Epristeride-alfuzosin mixt.  
 CN N-tert-Butylandrosta-3,5-diene-17 $\beta$ -carboxamide-3-carboxylic acid-alfuzosin mixt.  
 FS STEREOSEARCH  
 MF C25 H37 N O3 . C19 H27 N5 O4  
 CI MXS  
 SR CA  
 LC STN Files: CA, CAPLUS, IMSPATENTS, IMSRESEARCH

CM 1

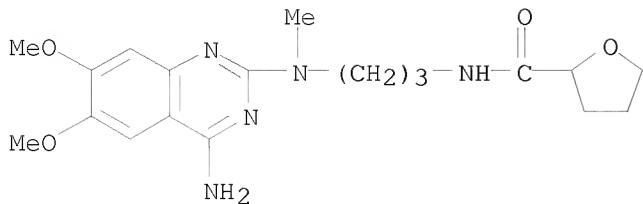
CRN 119169-78-7  
 CMF C25 H37 N O3

Absolute stereochemistry.



CM 2

CRN 81403-80-7  
 CMF C19 H27 N5 O4



1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file caplus		
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FILE COVERS 1907 - 29 Sep 2008 VOL 149 ISS 14  
 FILE LAST UPDATED: 28 Sep 2008 (20080928/ED)

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(FILE 'HOME' ENTERED AT 13:31:33 ON 29 SEP 2008)

FILE 'REGISTRY' ENTERED AT 13:31:44 ON 29 SEP 2008  
 L1 5 S ALFUZOSIN

FILE 'CAPLUS' ENTERED AT 13:33:31 ON 29 SEP 2008

=> s 11  
 L2 351 L1

=> s 12 and (acetone or ketone)  
 191754 ACETONE

161177 KETONE  
L3 14 L2 AND (ACETONE OR KETONE)

=> d 13 1- ibib abs hitstr  
YOU HAVE REQUESTED DATA FROM 14 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2008:156861 CAPLUS  
DOCUMENT NUMBER: 148:215075  
TITLE: Process for preparation of alfuzosin hydrochloride  
INVENTOR(S): Upparapalli, Sampathkumar; Shanmuga, Sundaram Bharani  
Kumar; Sharma, Hitesh Chandraprakash; Rao, Siripragada  
Mahender  
PATENT ASSIGNEE(S): Orchid Chemicals & Pharmaceuticals Limited, India  
SOURCE: PCT Int. Appl., 17pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008015525	A2	20080207	WO 2007-IB2151	20070727
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			IN 2006-CH1335	A 20060731
			IN 2007-CH91	A 20070117

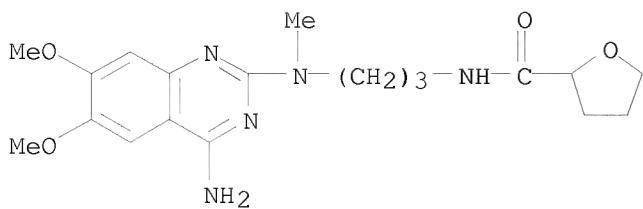
OTHER SOURCE(S): CASREACT 148:215075

AB The present invention pertains to a process for the preparation of alfuzosin hydrochloride from the condensation of N-(3-aminopropyl)-6,7-dimethoxy-N-methylquinazoline-2,4-diamine with tetrahydrofuran-2-carboxylic acid in presence of carbonyldiimidazole (CDI) in acetonitrile. This invention also relates to a method for the purification of the key starting material for the preparation of alfuzosin hydrochloride, N-(3-aminopropyl)-6,7-dimethoxy-N-methylquinazoline-2,4-diamine, by transforming it to corresponding salt with an organic di-carboxylic acid in an alc. solvent, then separating and neutralizing the above di-carboxylic acid salt with a base to obtain the purified substrate. Advantageously, the title process is safer, simpler, and more feasible at industrial scale as compare to previously disclosed process.

IT 81403-80-7P, Alfuzosin  
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of alfuzosin hydrochloride)

RN 81403-80-7 CAPLUS

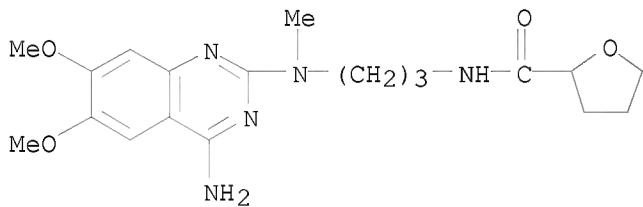
CN 2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro- (CA INDEX NAME)



IT 81403-68-1P, Alfuzosin hydrochloride  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of alfuzosin hydrochloride)

RN 81403-68-1 CAPLUS

CN 2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

L3 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:131779 CAPLUS

DOCUMENT NUMBER: 148:315160

TITLE: Polymorphs of alfuzosin hydrochloride

INVENTOR(S): Amarajyoti, Chaudhary Alka; Prabhakar, Kelkar Santosh; Shashi, Kanathala Rekha; Gopaldas, Gangrade Manish

PATENT ASSIGNEE(S): Cipla Ltd., India

SOURCE: Indian Pat. Appl., 33pp.

CODEN: INXXBQ

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 2006MU00614	A	20080125	IN 2006-MU614	20060419
PRIORITY APPLN. INFO.:			IN 2006-MU614	20060419

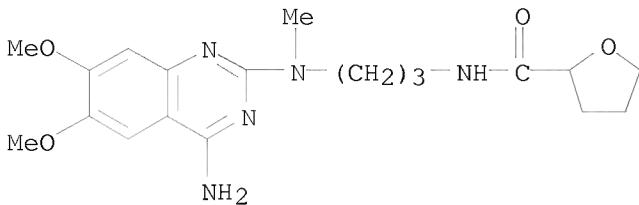
AB A polymorph of alfuzosin hydrochloride and a process for its preparation is described. The polymorph shows significant enhancement in bioavailability and tablets made from the polymorph have better hardness and improved disintegration time.

IT 81403-80-7, Alfuzosin

RL: PRP (Properties); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)  
 (polymorph of alfuzosin hydrochloride)

RN 81403-80-7 CAPLUS

CN 2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro- (CA INDEX NAME)

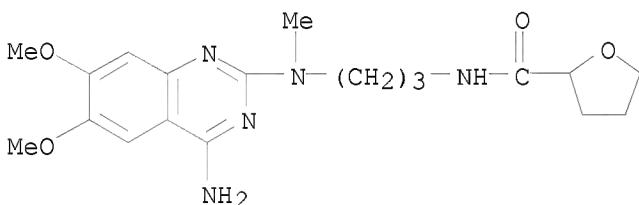


IT 81403-68-1P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(polymorph of alfuzosin hydrochloride)

RN 81403-68-1 CAPLUS

CN 2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

L3 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1482805 CAPLUS

DOCUMENT NUMBER: 148:106407

TITLE: New diazo coupling reactions for visible spectrophotometric determination of alfuzosin in pharmaceutical preparations

AUTHOR(S): Krishna, M. Vamsi; Sankar, D. Gowri

CORPORATE SOURCE: Pharmaceutical Analysis and Quality Assurance Division, University College of Pharmaceutical Sciences, Visakhapatnam, 530003, India

SOURCE: E-Journal of Chemistry (2007), 4(4), 496-501

CODEN: ECJHAO

PUBLISHER: WWW Publications

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Simple, rapid and sensitive spectrophotometric procedures were developed for the anal. of Alfuzosin hydrochloride (AFZ) in pure form as well as in pharmaceutical formulations. The methods are based on the reaction of AFZ with nitrite in acid medium to form diazonium ion, which is coupled with ethoxyethylenemaleic ester (Method A) or ethylcyanoacetate (Method B) or acetyl acetone (method C) in basic medium to form azo dyes, showing absorption maxima at 440, 465 and 490 nm resp. Beer's law is obeyed in the concentration of 4-20 µg/mL of AFZ for methods A, B and 3-15

$\mu\text{g}/\text{mL}$  of AFZ for method C. The molar absorptivity and sandell's sensitivity of AFZ-ethoxyethylenemaleic ester, AFZ- ethylcyanoacetate and AFZ-acetyl acetone are  $1.90 + 104$ ,  $0.022$ ;  $1.93 + 104$ ,  $0.021$  and  $2.67 + 104$  L mole $^{-1}$  cm $^{-1}$ ,  $0.015 \mu\text{g cm}^{-2}$  resp. The optimum reaction conditions and other anal. parameters were evaluated. The methods were successfully applied to the determination of AFZ in pharmaceutical formulations.

IT 81403-80-7, Alfuzosin

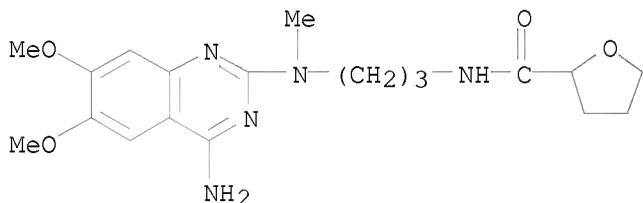
RL: ANT (Analyte); ANST (Analytical study)

(determination of alfuzosin in pharmaceuticals by spectroscopy using diazo coupling)

RN 81403-80-7 CAPLUS

CN 2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro-

(CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1334076 CAPLUS

DOCUMENT NUMBER: 148:11263

TITLE: Preparation of amino- and imino-alkylpiperazines having affinity for serotonergic receptors

INVENTOR(S): Leonardi, Amedeo; Motta, Gianni; Riva, Carlo; Guarneri, Luciano

PATENT ASSIGNEE(S): Recordati Ireland Limited, Ire.

SOURCE: U.S. Pat. Appl. Publ., 44pp.

CODEN: USXXCO

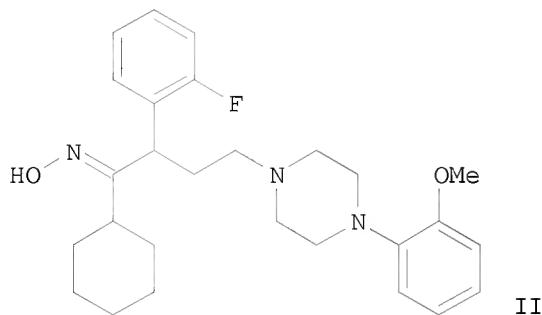
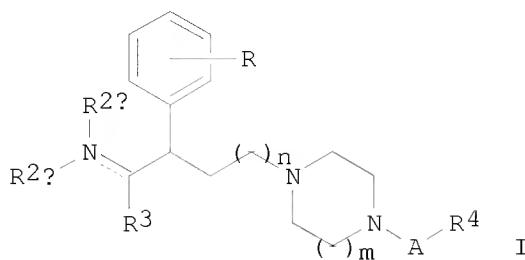
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070270436	A1	20071122	US 2007-751322	20070521
PRIORITY APPLN. INFO.:			US 2006-802738P	P 20060522
OTHER SOURCE(S):	MARPAT	148:11263		
GI				



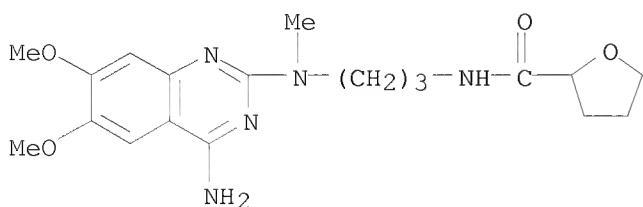
**AB** Title compds. represented by the formula I [wherein R = H, alkyl, alkoxy, etc.; R2a = H, alkyl, alkenyl, etc.; R2b = not present or H, alkyl, formyl, etc.; R3 = (cyclo)alkyl, alkenyl or alkynyl; R4 = (un)substituted (hetero)aryl; A = a bond or  $(CH_2)_n$ ; m = 1 or 2; n = 1 or 2; or enantiomers, optical isomers, diastereomers, N-oxides, crystalline forms, hydrates and pharmaceutically acceptable salts thereof] were prepared. For example, reaction of 1-[4-cyclohexyl-3-(2-fluorophenyl)-4-oxobutyl]-4-(2-methoxyphenyl)piperazine with hydroxylamine•HCl in EtOH/H<sub>2</sub>O at reflux for 6 h gave II in 97% yield. I were tested for binding affinity with 5-HT<sub>1A</sub> receptor, inhibition of serotonergic syndrome induced by 8-OH-DPAT in rats, and etc. Thus, I and their pharmaceutical compns., having affinity for serotonergic receptors, are useful for the treatment of patients with neuromuscular dysfunction of the lower urinary tract and CNS diseases and/or disorders associated with 5-HT<sub>1A</sub> receptor dysfunction.

**IT** 81403-80-7, Alfuzosin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(combination therapy agent; preparation of amino- and imino-alkylpiperazines having affinity for serotonergic 5-HT<sub>1A</sub> receptors)

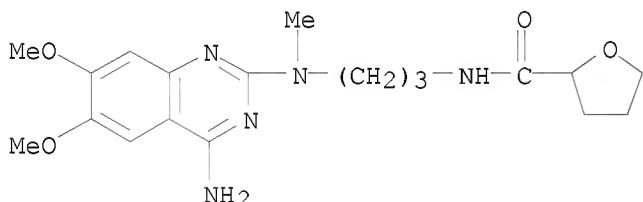
**RN** 81403-80-7 CAPLUS

**CN** 2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro- (CA INDEX NAME)



ACCESSION NUMBER: 2007:1016569 CAPLUS  
 DOCUMENT NUMBER: 148:503081  
 TITLE: Novel drug delivery system  
 INVENTOR(S): Nadkarni, Sunil Sadanand; Vaya, Navin; Karan, Rajesh Singh; Gupta, Vinod Kumar  
 PATENT ASSIGNEE(S): Torrent Pharmaceuticals Limited, India  
 SOURCE: Indian Pat. Appl., 80pp., Addn. of Indian Appl. No. 2004MU198.  
 CODEN: INXXBQ  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 2005MU01012	A	20070831	IN 2005-MU1012	20050826
PRIORITY APPLN. INFO.:			IN 2004-MU198	A0 20040220
AB A novel modified release dosage form comprising of a high solubility active ingredient, which utilizes dual retard technique to effectively reduce the quantity of release controlling agents. Present invention can optionally comprise addnl. another active ingredient as an immediate release form or modified release form. Present invention also relates to a process for preparing the said formulation.				
IT 81403-80-7, Alfuzosin	RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (novel drug delivery system)			
RN 81403-80-7 CAPLUS				
CN 2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro-	(CA INDEX NAME)			



L3 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:618312 CAPLUS  
 DOCUMENT NUMBER: 147:52927  
 TITLE: An improved and industrial process for the preparation of alfuzosin hydrochloride and its novel polymorphs  
 INVENTOR(S): Reddy, Manne Satyanarayana; Kumar, Muppa Kishore; Reddy, Bairy Kondal; Venkatesh, Mummadi  
 PATENT ASSIGNEE(S): MSN Laboratories Limited, India  
 SOURCE: PCT Int. Appl., 28pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007063556	A2	20070607	WO 2007-IN19	20070119

WO 2007063556

A3 20080731

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 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
 GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,  
 KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,  
 MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,  
 RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,  
 TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.:

IN 2006-CH2270

A 20061207

OTHER SOURCE(S):

CASREACT 147:52927

AB An improved and industrial process for the preparation of alfuzosin hydrochloride and its novel polymorphs is claimed. Alfuzosin free base was prepared in two steps by amidation of 2-tetrahydrofuroic acid Me ester with 3-(methylamino)propylamine, followed by treatment of the resulting amide with 4-amino-2-chloro-6,7-dimethoxyquinazoline. After purification, alfuzosin free base was treated with HCl/MeOH to give the title compound. An isopropanol-solvated form of alfuzosin hydrochloride was prepared by adding HCl/MeOH to alfuzosin free base, followed by addition of isopropanol.

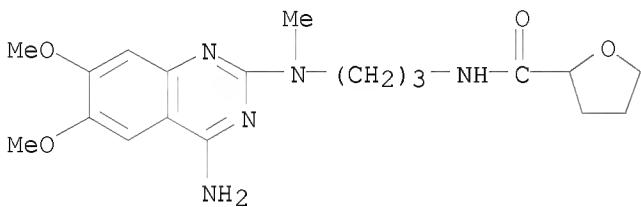
IT 81403-68-1P, Alfuzosin hydrochloride

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(claimed compound; improved preparation of alfuzosin hydrochloride and its novel polymorphs)

RN 81403-68-1 CAPLUS

CN 2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

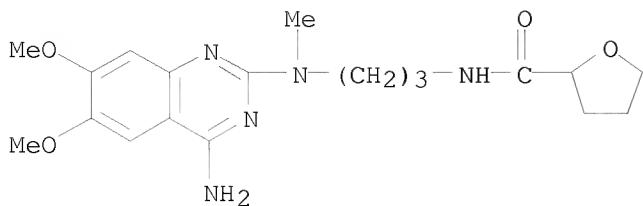
IT 81403-80-7P, Alfuzosin

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(claimed compound; improved preparation of alfuzosin hydrochloride and its novel polymorphs)

RN 81403-80-7 CAPLUS

CN 2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro- (CA INDEX NAME)



L3 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:418624 CAPLUS

DOCUMENT NUMBER: 148:403247

TITLE: Preparation of alfuzosin hydrochloride

INVENTOR(S): Reddy, Manne Satyanarayana

PATENT ASSIGNEE(S): MSN Laboratories Limited, India

SOURCE: Indian Pat. Appl., 18pp.

CODEN: INXXBQ

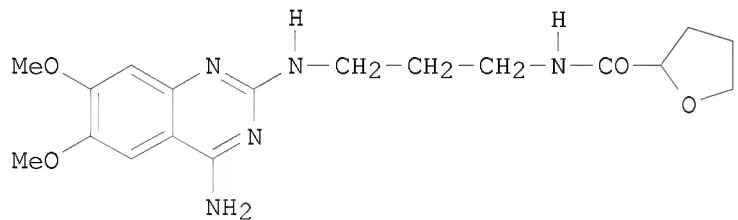
DOCUMENT TYPE: Patent

LANGUAGE: English

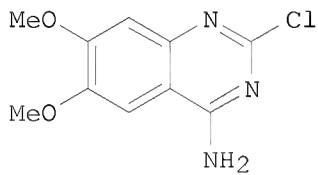
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

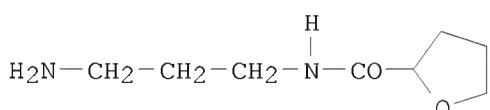
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 2005CH01248	A	20070216	IN 2005-CH1248	20050906
PRIORITY APPLN. INFO.:			IN 2005-CH1248	20050906
OTHER SOURCE(S):	CASREACT	148:403247		
GI				



I



II



III

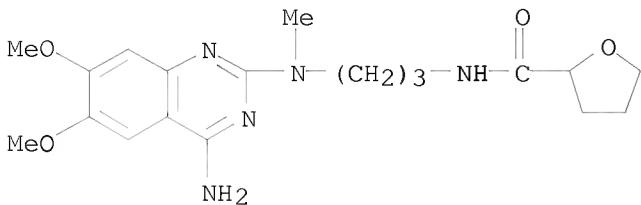
AB A process for the preparation of the hydrochloride salt of title compound I was disclosed. For example, coupling of chloroquinazoline II and amine III afforded title compound I in 74% yield.

IT 81403-68-1P 81403-80-7P, Alfuzosin

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of alfuzosin hydrochloride)

RN 81403-68-1 CAPLUS

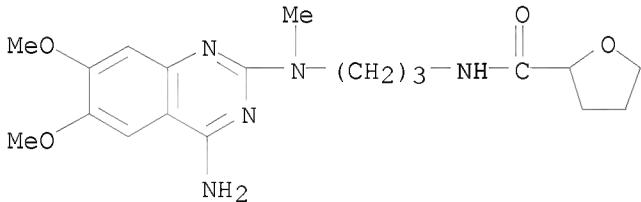
CN 2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 81403-80-7 CAPLUS

CN 2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro- (CA INDEX NAME)



L3 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:355279 CAPLUS

DOCUMENT NUMBER: 146:421999

TITLE: Preparation of alfuzosin

INVENTOR(S): Hu, Gaoyun; Xiang, Honglin; Xu, Liming

PATENT ASSIGNEE(S): Zhejiang Wan'an Pharmaceutical Co., Ltd., Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 13pp.

CODEN: CNXXEV

DOCUMENT TYPE: Patent

LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

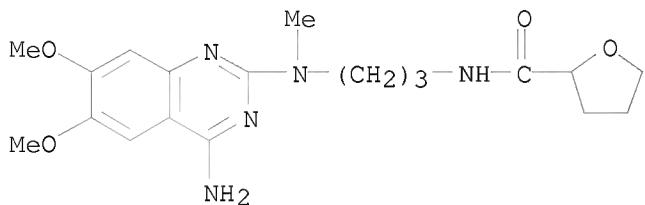
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1935806	A	20070328	CN 2006-10053462	20060919
PRIORITY APPLN. INFO.:			CN 2006-10053462	20060919

OTHER SOURCE(S): CASREACT 146:421999

AB The title method comprises: (1) carrying out condensation reaction of 3-halopropylamine and 2-tetrahydrafurancarboxylic acid or 2-tetrahydrafurancarbonyl chloride in organic alkali at -10-100°C for 6-28 h to obtain N-3-chloropropyl-2-tetrahydrafurancarboxamide (I), (2) carrying out condensation reaction of I and methylamine in organic or inorg. alkali at -10-120°C for 3-30 h to obtain N-3-methylaminopropyl-2-tetrahydrafurancarboxamide (II), and (3) carrying out condensation reaction

of II and 2-chloro-2-amino-6,7-dimethoxyquinazoline at 0-120°C for 3-24 h to obtain the title compound  
 IT 81403-68-1P, Alfuzosin hydrochloride  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of alfuzosin)  
 RN 81403-68-1 CAPLUS  
 CN 2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

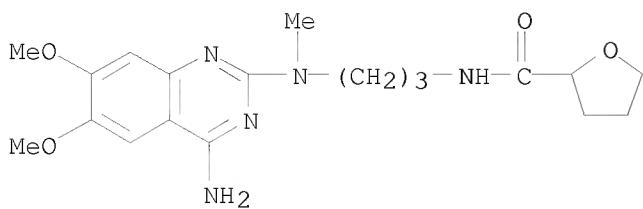
L3 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:230235 CAPLUS  
 DOCUMENT NUMBER: 146:258715  
 TITLE: Alfuzosin hydrochloride polymorphs  
 INVENTOR(S): Anumula, Raghupathi Reddy; Alla, Sampath; Madivada, Lokeswara Rao; Gilla, Goverdhan  
 PATENT ASSIGNEE(S): India  
 SOURCE: U.S. Pat. Appl. Publ., 18pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070049756	A1	20070301	US 2006-467951	20060829
IN 2005CH01210	A	20071005	IN 2005-CH1210	20050830
PRIORITY APPLN. INFO.:			IN 2005-CH1210	A 20050830
			US 2006-787704P	P 20060330

AB Alfuzosin hydrochloride crystalline and amorphous polymorphic forms and processes for preparing them are disclosed. Alfuzosin was dissolved in MeOH and HCl in MeOH was added to the solution and the solid was filtered to give an alfuzosin hydrochloride amorphous form.

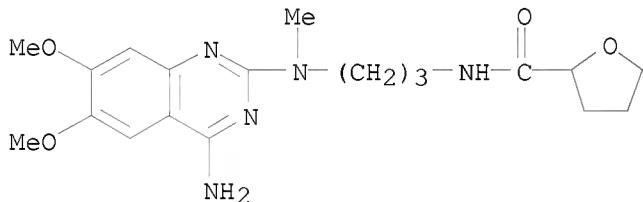
IT 81403-68-1P, Alfuzosin hydrochloride  
 RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (alfuzosin hydrochloride polymorphs)

RN 81403-68-1 CAPLUS  
 CN 2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

IT 81403-80-7, Alfuzosin  
 RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)  
 (alfuzosin hydrochloride polymorphs)  
 RN 81403-80-7 CAPLUS  
 CN 2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro- (CA INDEX NAME)



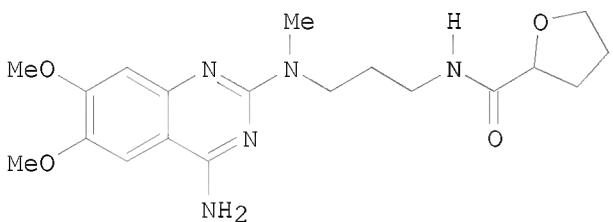
L3 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:884823 CAPLUS  
 DOCUMENT NUMBER: 145:293084  
 TITLE: Processes for the preparation of alfuzosin and salts thereof and novel crystalline forms of alfuzosin  
 INVENTOR(S): Joshi, Narendra Shriram; Ramam, Buddhavarapu Pattabhi; Rao, Kodali Eswara; Bhirud, Shekhar Bhaskar; Pradhan, Nitin S.  
 PATENT ASSIGNEE(S): Glenmark Pharmaceuticals Limited, India  
 SOURCE: PCT Int. Appl., 58pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006090268	A2	20060831	WO 2006-IB431	20060228
WO 2006090268	A3	20061026		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,			

IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM

IN 2005MU00215	A	20060908	IN 2005-MU215	20050228
PRIORITY APPLN. INFO.:			IN 2005-MU215	A 20050228
			US 2005-662505P	P 20050316
			IN 2005-MU711	A 20050617
			US 2005-696743P	P 20050706

OTHER SOURCE(S): CASREACT 145:293084  
 GI

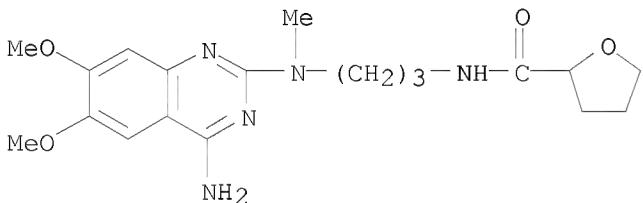


I

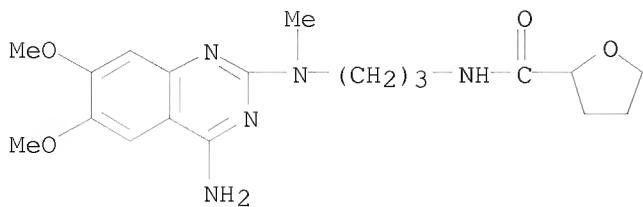
AB The present invention provides novel crystalline forms of alfuzosin (I) and alfuzosin hydrochloride and processes for their preparation. Also provided are pharmaceutical compns. containing the new crystalline forms. Thus, alfuzosin was prepared by reacting N-(4-amino-6,7-dimethoxyquinazol-2-yl)-N-methyl-1,3-propanediamine with 2-tetrahydrofuroic acid.

IT 81403-80-7P, Alfuzosin  
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (processes for the preparation of crystalline alfuzosin (polymorph A) and alfuzosin hydrochloride (polymorphs I and II))  
 RN 81403-80-7 CAPLUS  
 CN 2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro-

(CA INDEX NAME)



IT 81403-68-1P, Alfuzosin hydrochloride  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
 (processes for the preparation of crystalline alfuzosin (polymorph A) and alfuzosin hydrochloride (polymorphs I and II))  
 RN 81403-68-1 CAPLUS  
 CN 2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

L3 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:269392 CAPLUS  
 DOCUMENT NUMBER: 144:312110  
 TITLE: Process for preparation of crystalline alfuzosin base  
 INVENTOR(S): Reddy, Bandi Parthasaradhi; Reddy, Kura Rathnakar;  
 Reddy, Rapolu Raji; Reddy, Dasari Muralidhara; Reddy,  
 Matta Ramakrishna  
 PATENT ASSIGNEE(S): Hetero Drugs Limited, India  
 SOURCE: PCT Int. Appl., 19 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006030449	A1	20060323	WO 2004-IN292	20040916
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1789412	A1	20070530	EP 2004-770711	20040916
EP 1789412	B1	20080507		
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2004CN02062	A	20070720	IN 2004-CN2062	20040916
AT 394395	T	20080515	AT 2004-770711	20040916
US 20070100143	A1	20070503	US 2006-571744	20060313
PRIORITY APPLN. INFO.:			WO 2004-IN292	W 20040916

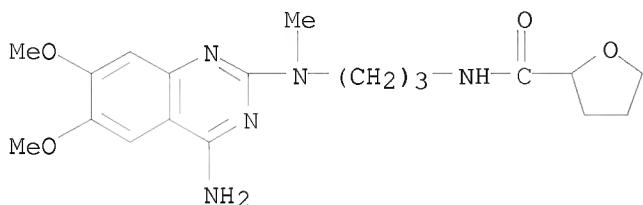
AB The present invention relates to crystalline alfuzosin base and processes for preparation of the said crystalline solid. For example, alfuzosin base (HPLC purity: 97%) is added to methanol and heated to reflux to form a clear solution, the solution is cooled to 25 - 30°C and stirred for 12 h at the same temperature, the resulting solution is cooled to 10 - 15°C and stirred for 2 h, and the resulting solid is filtered, washed with methanol and dried at 50 - 60°C for 4 h to give 99.95% pure alfuzosin base.

IT 81403-68-1P 81403-80-7P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of crystalline alfuzosin base)

RN 81403-68-1 CAPLUS

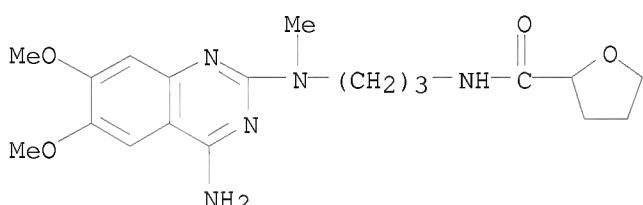
CN 2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 81403-80-7 CAPLUS

CN 2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:49279 CAPLUS

DOCUMENT NUMBER: 139:159420

TITLE: Discrimination and selection of new potential antibacterial compounds using simple topological descriptors

AUTHOR(S): Murcia-Soler, Miguel; Perez-Gimenez, Facundo; Garcia-March, Francisco J.; Salabert-Salvador, M. Teresa; Diaz-Villanueva, Wladimiro; Medina-Casamayor, Piedad

CORPORATE SOURCE: Faculty of Pharmacy, Department of Physical Chemistry, Universitat de Valencia, Valencia, Spain

SOURCE: Journal of Molecular Graphics & Modelling (2003), 21(5), 375-390

CODEN: JMGMFI; ISSN: 1093-3263

PUBLISHER: Elsevier Science Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

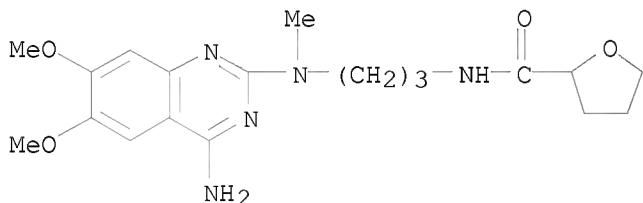
AB The aim of the work was to discriminate between antibacterial and non-antibacterial drugs by topol. methods and to select new potential

antibacterial agents from among new structures. The method used for antibacterial activity selection was a linear discriminant anal. (LDA). It is possible to obtain a QSAR interpretation of the information contained in the discriminant function. We make use of the pharmacol. distribution diagrams (PDDs) as a visualizing technique for the identification and selection of new antibacterial agents.

IT 81403-80-7, Alfuzosin  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (discrimination and selection of new potential antibacterial compds. using simple topol. descriptors)

RN 81403-80-7 CAPLUS

CN 2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro- (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:755212 CAPLUS

DOCUMENT NUMBER: 137:279361

TITLE: Preparation of nitrosated and nitrosylated  $\alpha$ -adrenergic receptor antagonists for the treatment of sexual dysfunction

INVENTOR(S): Garvey, David S.; Saenz De Tejada, Inigo; Gaston, Ricky D.; Khanapure, Subhash P.; Shelekhin, Tatiana E.; Wang, Tiansheng

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 61 pp., Cont.-in-part of U.S. 6,294,517.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 9

PATENT INFORMATION:

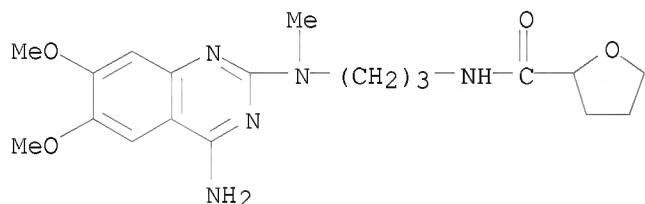
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020143007	A1	20021003	US 2002-146671	20020516
US 5932538	A	19990803	US 1996-595732	19960202
US 5994294	A	19991130	US 1996-714313	19960918
US 6294517	B1	20010925	US 1998-145143	19980901
US 20050187222	A1	20050825	US 2005-109761	20050420
PRIORITY APPLN. INFO.:				
		US 1996-595732	A2	19960202
		US 1996-714313	A2	19960918
		US 1998-145143	A2	19980901
		WO 1997-US1294	A2	19970128
		US 1999-387724	A1	19990901
		US 2002-146671	A1	20020516

OTHER SOURCE(S): MARPAT 137:279361

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

- AB Title compds. I, II, III, etc. [R1 = H, alkoxy; R2 = NMe(CH2)aNHCORc, 6,7-dimethoxy-1,2,3,4-tetrahydroisoquinolin-2-yl, etc.; a= 2, 3; Rc = heterocyclic, alkyl, hydroxyalkyl, etc.; D = NO, NO2, etc.; R3 = CH2N(4-MeC6H4)(3-DOC6H4), CH2Ph, 2-methoxy-1,4-benzodioxin-2-yl, etc.; D1 = H or D with the proviso that D1 must be D if there is no other D in the compound; R4 = H, D, CORd; R5 = H, C(O)ORk, etc.; Rd = H, alkyl, cycloalkyl, etc.; Rk = H, alkyl] were prepared For example, nitrosylation of thiol IV (X = H), e.g., prepared from 4-[2-(dimethylamino)ethoxy]-2-methyl-5-(methylethyl)phenyl acetate in 3-steps, with NaNO2/HCl afforded IV.HCl (X = NO) in 82% yield. Compds. I, II, III, etc., donate, transfer or release nitric oxide or elevate levels of endogenous endothelium-derived relaxing factor, and are useful for treatment of sexual dysfunctions in males and females. In erectile response of anesthetized rabbits (2.5 kg), S-nitrosoglutathione, e.g., prepared from glutathione and NaNO2/HCl, at 500 µg dosage was able to induce near maximal response relative to the standard dose of pap/phent/PGE1.
- IT 81403-80-7D, Alfuzosin, nitrated or nitrosylated derivs.  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (preparation of nitrosated and nitrosylated  $\alpha$ -adrenergic receptor antagonists for the treatment of sexual dysfunction)
- RN 81403-80-7 CAPLUS
- CN 2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro- (CA INDEX NAME)



L3 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1995:909424 CAPLUS  
 DOCUMENT NUMBER: 123:314006  
 ORIGINAL REFERENCE NO.: 123:56295a, 56298a  
 TITLE: Preparation of alfuzosin hydrochloride dihydrate  
 INVENTOR(S): Borrega, Regis; Kitamura, Satoshi  
 PATENT ASSIGNEE(S): Synthelabo S. A., Fr.  
 SOURCE: Eur. Pat. Appl., 15 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 663398	A1	19950719	EP 1994-120539	19941223
EP 663398	B1	20000315		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE  
 JP 07206857 A 19950808 JP 1993-353828 19931228  
 AT 190614 T 20000415 AT 1994-120539 19941223  
 US 5545738 A 19960813 US 1994-364180 19941227

PRIORITY APPLN. INFO.: JP 1993-353828 A 19931228

AB Alfuzosin hydrochloride dihydrate, the most stable crystal-hydrate form of alfuzosin hydrochloride, is prepared by heating anhydrous alfuzosin hydrochloride in a 4:1 acetone-water solvent mixture at approx. 60° and cooling the solution until the dihydrate ppts. out. Numerous X-ray powder diffraction patterns of the various alfuzosin hydrochloride crystal hydrates are presented as well as data demonstrating the absorption of atmospheric water and the concomitant interchange of various alfuzosin hydrochloride crystal-hydrate states.

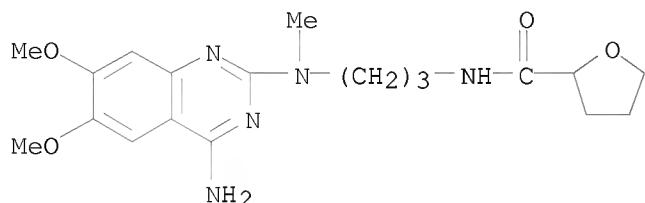
IT 81403-68-1, Alfuzosin hydrochloride

RL: PRP (Properties)

(preparation of alfuzosin hydrochloride dihydrate)

RN 81403-68-1 CAPLUS

CN 2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

=> d his

(FILE 'HOME' ENTERED AT 13:31:33 ON 29 SEP 2008)

FILE 'REGISTRY' ENTERED AT 13:31:44 ON 29 SEP 2008

L1 5 S ALFUZOSIN

FILE 'CAPLUS' ENTERED AT 13:33:31 ON 29 SEP 2008

L2 351 S L1

L3 14 S L2 AND (ACETONE OR KETONE)

=> s l2 and furoic

3286 FUROIC

L4 3 L2 AND FUROIC

=> s l4 not l3

L5 3 L4 NOT L3

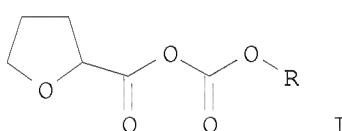
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YOU HAVE REQUESTED DATA FROM 3 ANSWERS - CONTINUE? Y/(N):Y

L5 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:670612 CAPLUS

DOCUMENT NUMBER: 147:72792  
 TITLE: Process for the preparation of alfuzosin from N1-(4-amino-6,7-dimethoxyquinazolin-2-yl)-N1-methylpropylenediamine and a tetrahydro-2-furoic acid mixed anhydride.  
 INVENTOR(S): Nathani, Pankaj Kumar; Narode, Sunil Dnyaneshwar; Siddiqui, Mohammad Jaweed Mukarram  
 PATENT ASSIGNEE(S): Wockhardt Ltd., India  
 SOURCE: PCT Int. Appl., 18pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007069050	A2	20070621	WO 2006-IB3606	20061214
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
IN 2005MU01585	A	20070810	IN 2005-MU1585	20051216
IN 2006MU01388	A	20080808	IN 2006-MU1388	20060831
EP 1968970	A2	20080917	EP 2006-831709	20061214
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
PRIORITY APPLN. INFO.:			IN 2005-MU1585	A 20051216
			IN 2006-MU1388	A 20060831
			WO 2006-IB3606	W 20061214
OTHER SOURCE(S): GI	CASREACT 147:72792; MARPAT 147:72792			



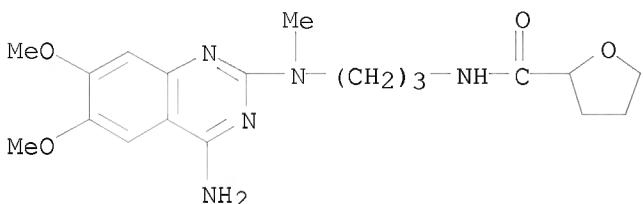
- AB Alfuzosin was prepared by reaction of N1-(4-amino-6,7-dimethoxyquinazolin-2-yl)-N1-methylpropylenediamine with a tetrahydro-2-furoic acid mixed anhydride (I; R = alkyl aryl, aralkyl, ester residue). Thus, tetrahydro-2-furoic acid in CH<sub>2</sub>C<sub>12</sub> at 0-5° was treated with Et<sub>3</sub>N and Et chloroformate followed by stirring for 1 h. N1-(4-amino-6,7-dimethoxyquinazolin-2-yl)-N1-methylpropylenediamine (preparation given) in CH<sub>2</sub>C<sub>12</sub> was added followed by stirring for 1 h to give 99.8% alfuzosin.
- IT 81403-80-7P, Alfuzosin

RL: IMF (Industrial manufacture); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)

(preparation of alfuzosin from aminodimethoxyquinazolinylmethylpropylenediamine and a tetrahydrofuroic acid mixed anhydride)

RN 81403-80-7 CAPLUS

CN 2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro- (CA INDEX NAME)



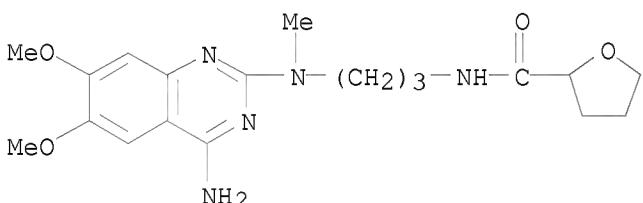
IT 81403-68-1P, Alfuzosin hydrochloride

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of alfuzosin from aminodimethoxyquinazolinylmethylpropylenediamine and a tetrahydrofuroic acid mixed anhydride)

RN 81403-68-1 CAPLUS

CN 2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

L5 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:412749 CAPLUS

DOCUMENT NUMBER: 148:379659

TITLE: Preparation of alfuzosin

INVENTOR(S): Sethuram, Chittor Chatambu; Bapat, Uday Rajaram; Sharma, Gain Chand

PATENT ASSIGNEE(S): Sanmar Speciality Chemicals Ltd., India

SOURCE: Indian Pat. Appl., 13pp.

CODEN: INXXBQ

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

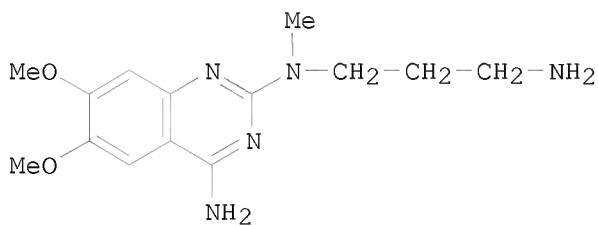
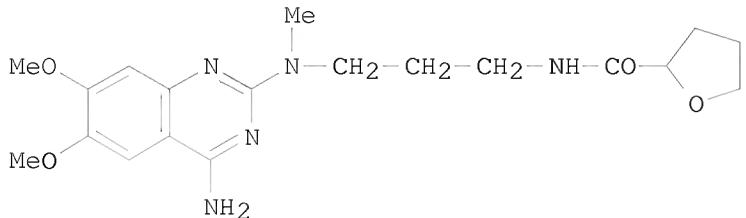
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 2005CH00260	A	20070316	IN 2005-CH260	20050315

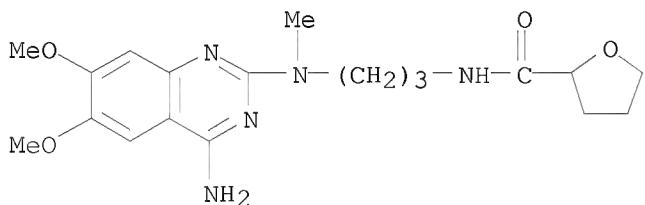
PRIORITY APPLN. INFO.:  
 OTHER SOURCE(S):  
 GI

IN 2005-CH260  
 CASREACT 148:379659

20050315

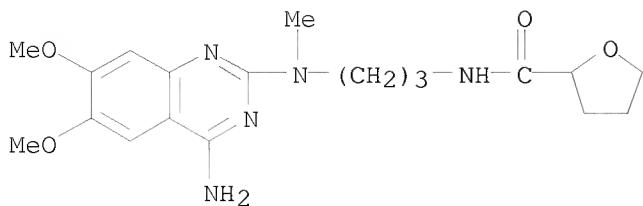


- AB A process for the preparation of title compound I was disclosed. For example, dean-stark/toluene mediated coupling of amine II and tetrahydro-2-furoic acid afforded crude alfuzosin.
- IT 81403-68-1P, Alfuzosin hydrochloride 81403-80-7P,  
 Alfuzosin  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of alfuzosin)
- RN 81403-68-1 CAPLUS
- CN 2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

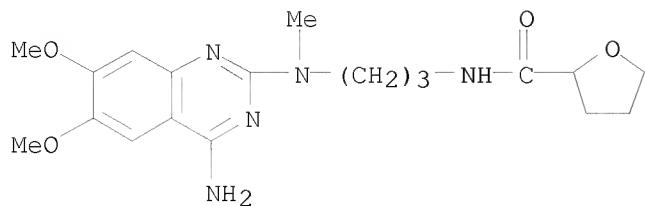
- RN 81403-80-7 CAPLUS
- CN 2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro- (CA INDEX NAME)



L5 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:332954 CAPLUS  
 DOCUMENT NUMBER: 146:316935  
 TITLE: Process for preparation of alfuzosin via esterification of tetrahydro-2-furoic acid followed by coupling with N-methyl-1,3-propanediamine.  
 INVENTOR(S): Anumula, Raghupathi Reddy; Alla, Sampath; Gilla, Goverdhan; Bojja, Yakambram  
 PATENT ASSIGNEE(S): India  
 SOURCE: U.S. Pat. Appl. Publ., 11pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

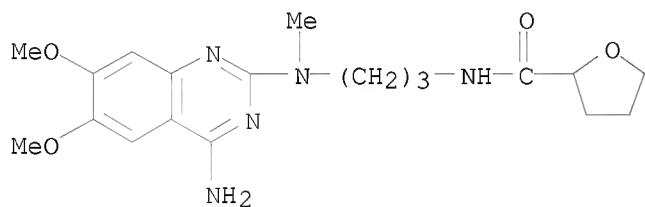
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070066824	A1	20070322	US 2006-534226	20060922
IN 2005CH01347	A	20070914	IN 2005-CH1347	20050922
PRIORITY APPLN. INFO.:			IN 2005-CH1347	A 20050922
			US 2006-788758P	P 20060403

OTHER SOURCE(S): CASREACT 146:316935  
 AB A process for preparation of alfuzosin comprises esterification of tetrahydro-2-furoic acid followed by coupling of the unisolated ester with N-methyl-1,3-propanediamine. Thus, tetrahydrofuroic acid in MeOH was treated with cat.  $\text{H}_2\text{SO}_4$  and kept at  $30^\circ$  for 5 h. The mixture was added to another reactor and treated with MeOH and N-methyl-1,3-propanediamine followed by heating at  $42^\circ$  for 30 h to give 94.4% N1-methyl-N2-(2-tetrahydrofuroyl)-1,3-propanediamine. The latter was heated with 4-amino-2-chloro-6,7-dimethoxyquinazoline and alfuzosin in isoamyl alc. at  $126\text{--}128^\circ$  for 22 h to give after purification steps 46.29% alofuzosin of 99.94% purity.  
 IT 81403-80-7P, Alfuzosin  
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (process for preparation of alfuzosin via esterification of tetrahydrofuroic acid followed by coupling with methylpropanediamine)  
 RN 81403-80-7 CAPLUS  
 CN 2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro- (CA INDEX NAME)



IT 81403-68-1P, Alfuzosin hydrochloride  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
 (process for preparation of alfuzosin via esterification of tetrahydrofuroic acid followed by coupling with methylpropanediamine)

RN 81403-68-1 CAPLUS  
 CN 2-Furancarboxamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

=> d his

(FILE 'HOME' ENTERED AT 13:31:33 ON 29 SEP 2008)

FILE 'REGISTRY' ENTERED AT 13:31:44 ON 29 SEP 2008  
 L1 5 S ALFUZOSIN

FILE 'CAPLUS' ENTERED AT 13:33:31 ON 29 SEP 2008  
 L2 351 S L1  
 L3 14 S L2 AND (ACETONE OR KETONE)  
 L4 3 S L2 AND FUROIC  
 L5 3 S L4 NOT L3

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	100.93	109.67
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-13.60	-13.60

STN INTERNATIONAL LOGOFF AT 13:36:10 ON 29 SEP 2008

